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24 December 2003*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: SODIUM CHANNEL BLOCKERS

(57) Abstract: The present invention relates to sodium channel blockers. The present invention also includes a variety of methods of treatment using these inventive sodium channel blockers.

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/04817

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 241/28, 241/24; A61K 31/4965; A61P 7/10
 US CL : 544/406, 407; 514/255.06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 544/406, 407; 514/255.06

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
 MERCK INDEX

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
 CAS ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|---------------|--|--|
| X --- A | US 3,313,813 A (CRAGOE et al) 11 April 1967 (11.04.67), Ex. 181 and 190, column 42 and 44 fits formula (I) with X = Cl, Y = NH ₂ , R ₁ = R ₂ = R ₃ = hydrogen R ₄ = phenylethyl and a-methylbenzyl, X = a bond, o = p = 1, and Q = phenyl. See also claim 24. | 1-7, 9-11, 13, 164, 168, 169, 172-208 ----- 8, 12, 14-163, 165-167, 170, & 171 |
| X | BICKING et al. Pyrazine diuretics. I. N-Amidino-3-amino-6-halopyrazine carboxamides. J. Med. Chem., 1965, Vol. 8, No. 5, pages 638-42, compound 12 fits formula (I) with Y = NH ₂ , X = R ₁ = R ₂ = R ₃ = hydrogen R ₄ = phenylethyl, X = chlorine o = p = 1, and Q = phenyl. See also compound 11. | 1, 164, 168, 169, 172-208 |
| X | US 3,573,306 A (SHEPARD et al) 30 March 1971 (30.03.71), Ex. 19, column 9 fits formula (I) with X = Cl, Y = NH ₂ , R ₁ = R ₂ = R ₃ = hydrogen R ₄ = -O-benzyl, X = oxygen, o = 0, p = 1, and Q = phenyl. See also Ex 15, 17, and 22. | 1-7, 164, 169, 172-208 |

☒ Further documents are listed in the continuation of Box C.

See patent family annex.

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"P" document published prior to the international filing date but later than the priority date claimed

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"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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document member of the same patent family

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INTERNATIONAL SEARCH REPORT

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C. (Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|---|
| X | EPAND et al. Reversal of intrinsic multidrug resistance in Chinese hamster ovary cells by amiloride analogs. British Journal of Cancer, 1991, Vol. 63, No. 2, pages 247-51, compound HPA fits formula (I) with X = Cl, Y = NH ₂ , R ₁ = R ₂ = R ₃ = hydrogen R ₄ = phenylethyl, R ₅ = OH, X = a bond, o = p = 1, and Q = 4-hydroxyphenyl. See also DCB, Benzamil, BTMB, and CBDMB. | 1-7, 9-11, 13, 164, 168, 169, and 172-208 |